```
=> s (pyrrol?(7w)pyridin?)(l)piperaz?
        143828 PYRROL?
        274367 PYRIDIN?
         44295 PIPERAZ?
L1         157 (PYRROL?(7W)PYRIDIN?)(L)PIPERAZ?

=> s l1 and p38
         13101 P38
L2           0 L1 AND P38

=> s l1 and (inflammat? or antiinflamm?)
        250148 INFLAMMAT?
         49257 ANTIINFLAMM?
L3          26 L1 AND (INFLAMMAT? OR ANTIINFLAMM?)

=> d bib abs 1-26

L3   ANSWER 1 OF 26  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:769188  CAPLUS
DN   145:188916
TI   Preparation of fused bicyclic aromatic compounds as dopamine D4 receptor
     agonists for use in treating sexual dysfunction
IN   Cowart, Marlon D.; Latshaw, Steven P.; Nelson, Sherry L.; Stewart, Andrew
     O.
PA   USA
SO   U.S. Pat. Appl. Publ., 91pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.      DATE
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PI   US 2006172995        A1    20060803    US 2006-395807       20060331
PRAI US 2006-395807             20060331
GI
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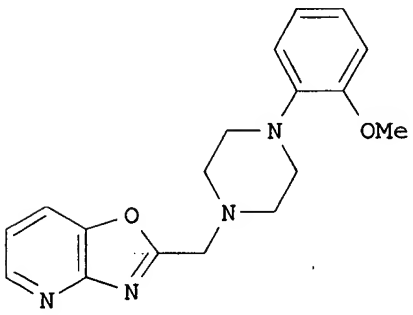


II

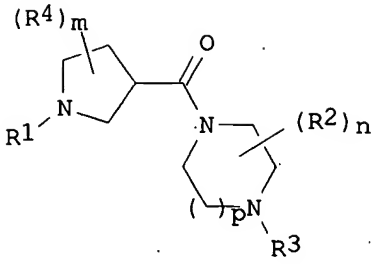
AB Title compds. A-L-D-B1 (I) [wherein: A = various (un)substituted 6/5- and 5/5-fused bicyclic aromatic nuclei, such as indole, benzothiophene, pyrrolopyridine, etc.; L = alkylene; D = (un)substituted 1,4-piperidinediyl, 1,2,5,6-tetrahydropyridine-1,4-diyl, 1,4-(homo)piperazinediyl, 2,5-diazabicyclo[2.2.1]heptane-2,5-diyl; B1 = (un)substituted Ph, 2-pyridinyl, 1-oxy-2-pyridinyl, etc.; with limitations and an exclusion] and pharmaceutically acceptable salts, esters, amides, N-oxides or prodrugs thereof were prepared as dopamine D4 receptor agonists.

Claimed uses are primarily for treatment of male and female sexual dysfunction, especially male erectile dysfunction, as well as other conditions, including cardiovascular, inflammatory, and various CNS disorders. For instance, cyclocondensation of 2-amino-3-pyridinol with ClCH2C(OMe)3 in diglyme in the presence of p-TsOH at 80°C gave 2-(chloromethyl)[1,3]oxazolo[4,5-b]pyridine, which was aminated with 1-(2-methoxyphenyl)piperazine in MeCN to afford compound II. In a functional test against human D4 receptor expressed in a stable HEK-293 cell line, representative compds. I exhibited EC50 values (vs. 10 μM dopamine) in the range of 7.5 nM to 3800 nM. In a rat penile erection model, representative compds. I at 0.01-1.0 μmol/kg s.c. gave at least 30% incidence of erection(s) during 1 h after administration. The in vitro and in vivo data demonstrates that compds. of the present invention are dopamine D4 receptor agonists that induce penile erections in rats.

L3 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:364994 CAPLUS
DN 144:412356
TI Pyrrolidine derivatives as histamine H3 receptor ligands, and their preparation, pharmaceutical compositions, and use for treating neurological diseases such as cognitive impairment in Alzheimer's disease
IN Bruton, Gordon; Cooper, Ian Ronald; Orlek, Barry Sidney
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006040192	A1	20060420	WO 2005-EP11371	20051013
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	GB 2004-23005	A	20041015		
	GB 2005-8441	A	20050426		

OS MARPAT 144:412356
GI



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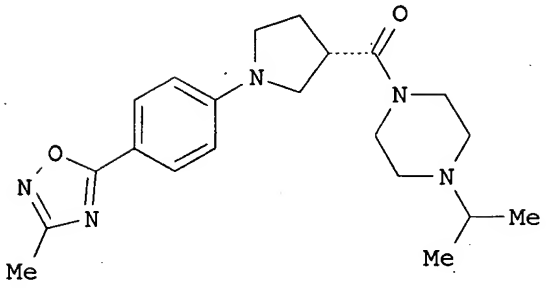

II

AB The invention relates to pyrrolidine derivs. I and pharmaceutically acceptable salts, having pharmacol. activity, processes for their preparation, to compns. containing them, and to their use in the treatment of neurol. and psychiatric disorders. In compds. I, R1 = (hetero)aryl, -(hetero)aryl-X-C3-7-cycloalkyl, -aryl-X-(hetero)aryl, -heteroaryl-X-(hetero)aryl, or -(hetero)aryl-X-heterocyclyl; wherein said (hetero)aryl and heterocyclyls of may be independently substituted by 1+ (e.g. 1, 2 or 3) halo, OH, cyano, NO2, oxo, halo-C1-6-alkyl, halo-C1-6-alkoxy, C1-6-alkyl, C1-6-alkoxy, C1-6-alkylthio, C1-6-alkoxy-C1-6-alkyl, C3-7-cycloalkyl-C1-6-alkoxy, COC1-6-alkyl, CO-halo-C1-6-alkyl, CO-C1-6-alkylcyano, C1-6-alkoxycarbonyl, C1-6-alkylsulfonyl, C1-6-alkylsulfinyl, C1-6-alkylsulfonyloxy, C1-6-alkylsulfonyl-C1-6-alkyl, C1-6-alkylsulfonamido-C1-6-alkyl, C1-6-alkylamido-C1-6-alkyl, aryl, arylsulfonyl, arylsulfonyloxy, aryloxy, arylsulfonamido, arylcarboxamido, aroyl, or a group NR15R16, CONR15R16, NR15COOR16, C(R15):NOR16, NR15SO2R16, or SO2NR15R16; wherein R15, R16 = H or C1-6 alkyl, or together form a heterocyclic ring; X = bond, O, CO, SO2, OCH2, or CH2O; each R2 and R4 = C1-4 alkyl; R3 = C2-6-alkyl, C3-6-alkenyl, C2-6-alkynyl, C3-6-cycloalkyl, C5-6-cycloalkenyl, or C0-4-alkyl-C3-6-cycloalkyl; wherein said C3-6-cycloalkyls of R3 may be independently substituted by 1+ (e.g. 1, 2 or 3) halo, C1-4 alkyl or CF3; m and n = 0, 1 or 2; p = 1 or 2; and solvates. I and their pharmaceutically acceptable salts have affinity for and are antagonists and/or inverse agonists of the histamine H3 receptor, and are believed to be of potential use in the treatment of neurol. diseases including Alzheimer's disease, dementia (including Lewy body dementia and vascular dementia), age-related memory dysfunction, mild cognitive impairment, cognitive deficit, epilepsy, pain of neuropathic origin including neuralgias, neuritis and back pain, and inflammatory pain including osteoarthritis, rheumatoid arthritis, acute inflammatory pain and back pain, migraine, Parkinson's disease, multiple sclerosis, stroke and sleep disorders (including narcolepsy and sleep deficits associated with Parkinson's disease); psychiatric disorders including schizophrenia (particularly cognitive deficit of schizophrenia), attention deficit hyperactivity disorder, depression, anxiety and addiction; and other diseases including obesity and gastrointestinal disorders. I are expected to be selective for the histamine H3 receptor over other histamine receptor subtypes, such as the

histamine H1 receptor. Generally, I may be at least 10-fold selective for H3 over H1, such as at least 100-fold selective. The invention also provides I or their pharmaceutically acceptable salts for use as therapeutic substances in the treatment or prophylaxis of the above disorders, in particular cognitive impairments in diseases such as Alzheimer's disease and related neurodegenerative disorders. The invention further provides a method of treatment or prophylaxis of the above disorders, in mammals including humans, which comprises administering to the sufferer a therapeutically effective amount of a compound I or a pharmaceutically acceptable salt thereof. In another aspect, the invention provides the use of I or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for use in the treatment of the above disorders. Approx. 60 prepns. of I, and approx. 55 prepns. of intermediates are given. For instance, Pd-catalyzed coupling of 5-(4-bromophenyl)-3-methyl-1,2,4-oxadiazole with 1-(1-methylethyl)-4-((3S)-3-pyrrolidinylcarbonyl)piperazine (prepns. given) in the presence of Pd2(dba)3, 2-dicyclohexylphosphino-2'-(N,N-dimethylamino)biphenyl, and potassium phosphate in DME at 75°, gave invention compound II. In functional antagonist assays using cloned human histamine receptors, compound II exhibited antagonism ≥ 9.5 fpKi at H3 receptors and < 6.5 fpKi at H1 receptors.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:211690 CAPLUS
DN 144:292781
TI Preparation of substituted biaryl piperazinyl-pyridine analogs as capsaicin receptor modulators
IN Blum, Charles A.; Brielmann, Harry; Chenard, Bertrand L.; Zheng, Xiaozhang
PA Neurogen Corporation, USA
SO PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006026135	A2	20060309	WO 2005-US28969	20050813
	WO 2006026135	A3	20060615		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006122394	A1	20060608	US 2005-204202	20050813
PRAI	US 2004-601721P	P	20040813		
	US 2005-641796P	P	20050105		

OS MARPAT 144:292781
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Ar2 = (un)substituted Ph, 6-membered aromatic heterocyclyl; X, Y, Z = independently CH and derivs., N, such that at least one of X, Y, and Z = N; D, K, J, F = independently N, CH and derivs.; R1 = 0-3 substituents selected from halo, CN, NO2, -Q-M-R5, etc.; Q = alkylene; each M = absent, O, CO, OCO, SO, etc.; R5 = H, haloalkyl, alk(en/yn)yl, etc.;R10 = Q-M-R5, or groups that taken together with one R1 to form a fused optionally substituted 5- to 7-membered carbocyclyl or heterocyclyl; R3 = H, halo, halo/alkyl, alkylene-NH2, pyrrolidinyl, morpholinyl, etc.; R4 = 0-2 substituents selected from halo/alkyl, oxo; and their pharmaceutically acceptable salts], useful for treating conditions related to capsaicin receptor activation, were prepared I modulate, preferably inhibit binding of vanilloid ligand to VR1 activation capsaicin receptor VR1 (vanilloid receptor subtype 1), exhibit no detectable agonist activity in an in vitro assay of capsaicin receptor agonism, show IC50 of ≤1 μM in a capsaicin receptor calcium mobilization assay, and reduce calcium conductance of a cellular capsaicin receptor. Radiolabeled compds. I are used for determining the presence or absence of capsaicin receptor in a sample in receptor localization studies. An 8-step synthesis is given for title compound II (no data for the intermediates).

L3 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:192178 CAPLUS
DN 144:254115
TI Furanopyridine derivatives as modulators of Lck and ACK-1 kinases, their preparation, pharmaceutical compositions and use in therapy
IN Nunes, Joseph J.; Martin, Matthew W.; White, Ryan; McGowan, David; Bemis, Jean E.; Kayser, Frank; Fu, Jiasheng; Liu, Jinqian; Jiao, Xian Yun
PA USA
SO U.S. Pat. Appl. Publ., 69 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006046977	A1	20060302	US 2005-184237	20050718
PRAI	US 2004-590472P	P	20040723		

OS MARPAT 144:254115
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to furanopyridine compds. having the general formula I, which are modulators of Lck and ACK-1 kinases. In compds. I, R1 is (di)substituted amino, OR6, or SR6, where R6 is (un)substituted C1-8 alkyl, (un)substituted C1-8 alkoxy, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted cycloalkyl, or (un)substituted heterocyclyl; R2 and R3 are independently selected from (un)substituted C1-8 alkyl, (un)substituted C1-8 alkoxy, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted cycloalkyl, and (un)substituted heterocyclyl; and R4 and R5 are independently selected from H, halo, cyano, C1-8 alkyl, (un)substituted Ph, (un)substituted piperidinyl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier or diluent, as well as to the use of the compns. for the treatment of diseases and conditions related to Lck and ACK-1 kinases. Bromination of pyridone II followed by

substitution with potassium cyanide and chlorination gave chlorofuropyridine III, which was substituted with (S)-tetrahydrofurfurylamine to give furopyridine IV. Several compds. of the invention, e.g., IV, express IC50 values of less than 5 μM for both Lck kinase and ACK-1 kinase.

L3 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:117881 CAPLUS
DN 144:212758
TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators
IN Arnold, William D.; Bounaud, Pierre; Gosberg, Andreas; Li, Zhe; McDonald, Ian; Steensma, Ruo W.; Wilson, Mark E.
PA SGX Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006015123	A1	20060209	WO 2005-US26792	20050727
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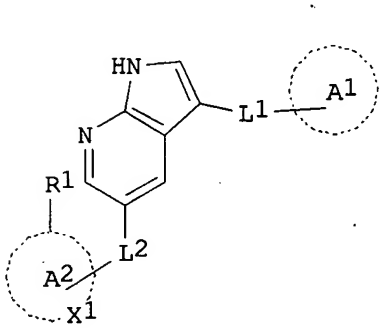
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006030583	A1	20060209	US 2005-192341	20050727
PRAI	US 2004-591887P	P	20040727		
	US 2004-591888P	P	20040727		
	US 2005-683510P	P	20050519		

OS MARPAT 144:212758
GI



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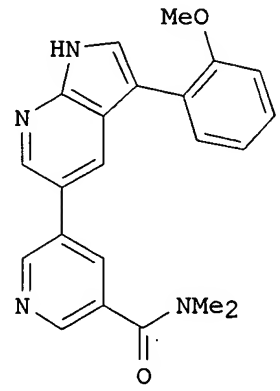

II

AB The title pyrrolo[2,3-b]pyridine derivs. I [wherein L1 and L2 = independently a bond, S, SO, SO2, O, NH, etc.; A1 = (un)substituted 6-membered (hetero)aryl; A2 = (un)substituted (hetero)cycloalkyl or (hetero)aryl; R1 = halo, CN, NO2, CF3, (un)substituted OH, NH2, etc.; X1 =

S, O, (un)substituted -CH=, CH2, -N=, or NH] or pharmaceutically acceptable salts thereof were prepared as kinase modulators to treat diseases mediated by kinase activity. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed inhibitory activity with IC50 of <0.05 μM against Abl_T315.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:117167 CAPLUS
DN 144:212768
TI Preparation of pyrazolo[3,4-b]pyridine derivatives as kinase modulators
IN Arnold, William D.; Gosberg, Andreas; Li, Zhe; Steensma, Ruo W.; Wilson, Mark E.
PA SGX Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006015124	A2	20060209	WO 2005-US26794	20050727
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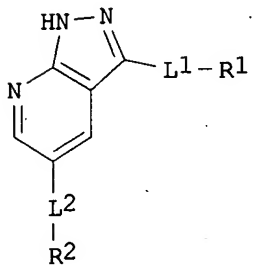
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006035898	A1	20060216	US 2005-192318	20050727
PRAI	US 2004-591778P	P	20040727		
	US 2004-591886P	P	20040727		
	US 2005-680091P	P	20050511		

OS MARPAT 144:212768
GI



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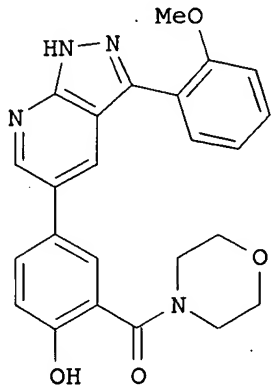


II

AB The title pyrazolo[3,4-b]pyridine derivs. I [wherein L1 and L2 = independently a bond, S, SO, SO2, O, NH, etc.; R1 and R2 = independently

(un)substituted (hetero)cycloalkyl or (hetero)aryl with provisos] or pharmaceutically acceptable salts thereof were prepared as kinase modulators to treat diseases mediated by kinase activity. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed inhibitory activity with IC50 of <1 μM against Abl_Y393F. I are useful for the treatment of cancer, allergy, asthma, inflammation, etc. (no data).

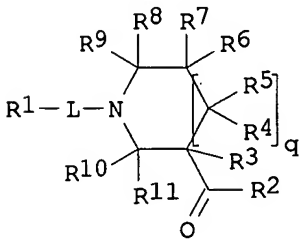
L3 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1348872 CAPLUS
DN 144:88173
TI Preparation of amido compounds such as piperidinecarboxamides as inhibitors of 11-β-hydroxysteroid dehydrogenase type 1 (11βHSD1) and antagonists of the mineralocorticoid receptor (MR)
IN Yao, Wenqing; Li, Yanlong; Xu, Meizhong; Zhuo, Jincong; Zhang, Colin; Metcalf, Brian W.
PA USA
SO U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005288317	A1	20051229	US 2005-159863	20050623
WO 2006012173	A1	20060202	WO 2005-US22170	20050623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-582478P P 20040624
OS MARPAT 144:88173
GI



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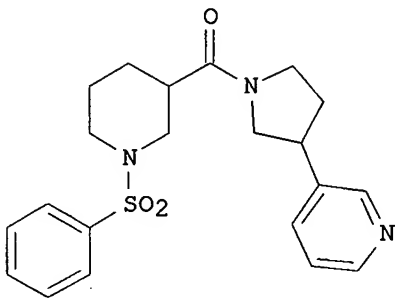


II

AB The title compds. I [L = S, SO, SO2; R1 = (un)substituted (hetero)aryl, (hetero)cycloalkyl; R2 = (un)substituted pyrrolidino, piperidino, piperazino; R3 = H, alkyl; R4-R11 = H, alkyl, aryl, etc.; q = 0-1; with the provisos], useful in the treatment of various diseases associated with expression or activity of 11-β-hydroxysteroid dehydrogenase type

1 and/or diseases associated with aldosterone excess, were prepared E.g., a multi-step synthesis of II, starting from 1-(tert-butoxycarbonyl)piperidine-3-carboxylic acid and 3-(pyrrolidin-3-yl)pyridine, was given. The pharmaceutical composition comprising the compound I is disclosed.

L3 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1242449 CAPLUS
DN 144:6815
TI Preparation of cycloalkylcarbonylamines and heterocycloalkylcarbonylamines as 11-β hydroxysteroid dehydrogenase type 1 inhibitors and mineralocorticoid receptor antagonists and their use as pharmaceuticals
IN Yao, Wenqing; Zhuo, Jincong; Xu, Meizhong; Zhang, Colin; Metcalf, Brian; He, Chunhong; Qian, Ding-Quan
PA Incyte Corporation, USA
SO PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005110992	A1	20051124	WO 2005-US15559	20050504
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005282858	A1	20051222	US 2005-122309	20050504
PRAI	US 2004-569273P	P	20040507		
	US 2004-602051P	P	20040817		
	US 2004-602791P	P	20040819		
	US 2004-638803P	P	20041222		

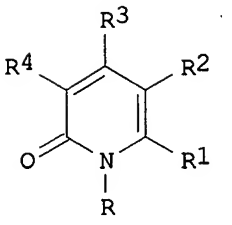
OS MARPAT 144:6815
AB The present invention relates to cycloalkylcarbonylamines and heterocycloalkylcarbonylamines (CyC(R1)(R2)C(O)N(R3)(R4) (I); variables defined below; e.g. (3S)-1-[[1-(4-chlorophenyl)cyclopropyl]carbonyl]pyrrolidin-3-ol (II)) as inhibitors of 11-β hydroxysteroid dehydrogenase type 1 (no data), antagonists of the mineralocorticoid receptor (no data), and pharmaceutical compns. thereof. The compds. of the invention can be useful in the treatment of various diseases associated with expression or activity of 11-β hydroxysteroid dehydrogenase type 1 and/or diseases associated with aldosterone excess. For I: Cy is aryl, heteroaryl, cycloalkyl or heterocycloalkyl; R1 and R2 together with the C atom to which they are attached form a 3-7-membered cycloalkyl or heterocycloalkyl group; R3 and R4 together with the N atom to which they are attached form a 4-15 membered heterocycloalkyl group; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >600 examples of I and intermediates are included. For example, II was prepared from 1-(4-chlorophenyl)cyclopropanecarboxylic acid and (3S)-pyrrolidin-3-ol using BOP and Hunig's base in DMF.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1126667 CAPLUS
DN 143:405804
TI Preparation of substituted pyridinones and pyridines as inhibitors of poly(ADP-ribose) polymerases (PARP)
IN Weintraub, Philip M.; Eastwood, Paul R.; Mehdi, Shujaath; Stefany, David W.; Musick, Kwon Yon; Moorcroft, Neil; Lim, Sungtaek; Jiang, John Z.; Rutten, Hartmut; Peukert, Stefan; Schwahn, Uwe
PA Aventis Pharmaceuticals Inc., USA
SO PCT Int. Appl., 288 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005097750	A1	20051020	WO 2005-US10517	20050329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-557459P P 20040330
OS MARPAT 143:405804
GI



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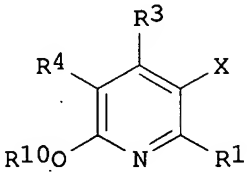

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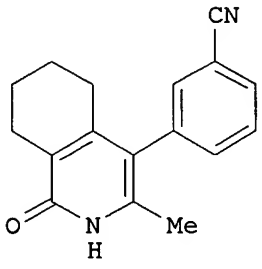


III

AB Title compds. I and II [wherein R = H or alkyl; R1 = (un)substituted alkyl, alkenyl or fluoroalkoxy; R2 = (un)substituted aryloxy or (hetero)aryl; R3 = H, (un)substituted alkyl, alkenyl or fluoroalkoxy; R4 = (fluoro)alkyl, alkenyl or fluoroalkoxy; R3 and R4 may link together to form a ring; R10 = (phenyl/fluoro)alkyl or alkenyl; X = halo, (un)substituted Ph, thienyl, furanyl or pyridinyl; with some limitations, and enantiomers, stereoisomers, tautomers, pharmaceutically acceptable salts, solvates or derivs. thereof] were prepared as inhibitors of poly(ADP-ribose) polymerases (PARP). For instance, 3-methyl-2H-isoquinolin-1-one underwent successive PtO2-catalyzed hydrogenation in HOAc (77%), bromination in the 4th position with Br2 (78%), O-methylation with MeI in the presence of Ag2CO3 (100%), Pd-catalyzed coupling with 3-cyanophenylboronic acid (14%), and demethylation with NaI/TMSCl (87%) to give III. This compound showed inhibition for partially purified recombinant human PARP with an IC50 of 0.2 μM in a radioactive enzyme

assay, and was effective in preventing cell death (HL60 cells) with an EC50 of 0.5 μM in a cell-based assay. Therefore, I and their pharmaceutical compns. are useful in the treatment and/or prevention of a variety of diseases, including those associated with the central nervous system and cardiovascular disorders.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

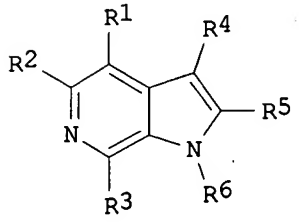
L3 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1123770 CAPLUS
DN 143:422339
TI Preparation of 6-azaindoles as IκB kinase inhibitors for treating diabetes and inflammatory diseases
IN Horiguchi, Yoshiaki; Imoto, Hiroshi; Wolf, Mark A.
PA Takeda Pharmaceutical Company Limited, Japan
SO PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005097129	A2	20051020	WO 2005-US11531	20050404
WO 2005097129	A3	20060119		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-558981P P 20040405
OS MARPAT 143:422339
GI



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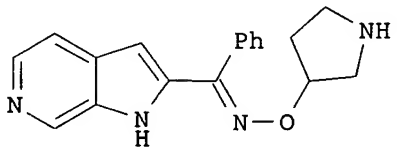

II

AB Azaindoles I [wherein R1-R3, R6 = independently H, a substituent; one of R4 and R5 is H, the other is selected from -C(:X)-R7, -C(:O)-R10, -CH(OH)-R10, -C(:O)-NH-(CH2)n-Ar, -C(:O)-Het, -CH(R12)-NR13R14; R8, R10 = independently H, or a group bonded via a C; R7 = H, or a substituent; n = 0-2; Ar = aryl; Het = (un)substituted heterocyclic group bonded via a N; R12 = H, hydrocarbyl; R13, R14 = independently H, (un)substituted hydrocarbyl, heterocyclyl, etc; with the exception of certain compds.; and their salts] were prepared as compds. having a superior IκB kinase inhibitory activity, and useful as pharmaceutical agents such as agents for preventing or treating diabetes and the like. For example, azaindole

II•2HCl was prepared by reacting of phenyl(1H-pyrrolo[2,3-c]pyridin-2-yl)methanone (preparation given) with tert-Bu 3-(aminooxy)pyrrolidine-1-carboxylate (preparation given), deprotection (no data) and acidulation with HCl. . Pyrrolopyridine salt II•2HCl displayed an IC50 of 1.7 μM for the inhibition of IKKβ.

L3 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1037098 CAPLUS
DN 143:347150
TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase inhibitors
IN Salom, Barbara; D'Anello, Matteo; Brasca, Maria Gabriella; Giordano, Patrizia; Martina, Katia; Angelucci, Francesco; Brookfield, Frederick Arthur; Trigg, William John; Boyd, Edward Andrew; Larard, Jonathan Anthony
PA Pharmacia Italia S.p.A., Italy
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063746	A1	20050714	WO 2004-XC14674	20041223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	WO 2005063746	A1	20050714	WO 2004-EP14674	20041223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2003-30043	A	20031224		
	WO 2004-EP14674	A	20041223		

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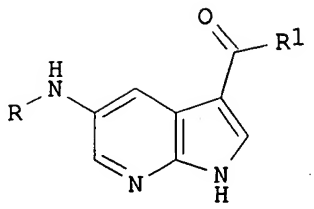

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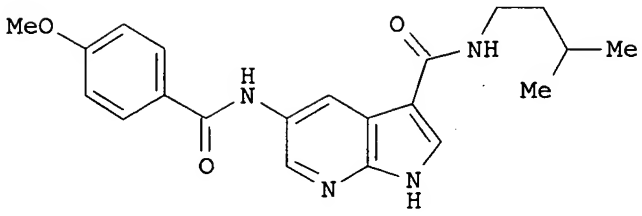

II

AB The title compds. [I; R = Ra, CORa, CONRaRb, SO2Ra, CO2Ra; R1 = NRcRd, ORc; Ra, Rb, Rc and Rd = H, alkyl, cycloalkyl, etc.] and pharmaceutically acceptable salts thereof together with pharmaceutical compns. comprising them, as well as combinatorial libraries of compds. I, are disclosed. Preparation of compds. I is described in eleven synthetic examples. E.g., a multi-step synthesis of II, starting from 5-nitro-1H-pyrrolo[2,3-b]pyridine-3-carboxylic acid and isoamylamine-bearing resin, was given. The compds. I or compns. comprising them may be useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity (no biol. data given) such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders. Also disclosed is a process under SPS conditions for preparing the compds. I and chemical libraries comprising a plurality of them. This is a Part IV of I-IV series.

L3 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:857596 CAPLUS
DN 141:350198
TI Heterocyclic (piperazine- and piperidine-containing) benzenesulfonamide derivatives, method for their production, therapeutic compositions, and use thereof for treatment of pain and inflammation
IN Barth, Martine; Bondoux, Michel; Dodey, Pierre; Massardier, Christine; Thomas, Didier; Luccarini, Jean-Michel
PA Laboratoires Fournier S.A., Fr.
SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087700	A1	20041014	WO 2004-FR723	20040324
	WO 2004087700	C1	20041118		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	FR 2852958	A1	20041001	FR 2003-3602	20030325
	FR 2852958	B1	20050624		
	FR 2853648	A1	20041015	FR 2003-4530	20030411
	FR 2853648	B1	20060818		
	AU 2004226197	A1	20041014	AU 2004-226197	20040324
	CA 2519110	AA	20041014	CA 2004-2519110	20040324
	EP 1606288	A1	20051221	EP 2004-742333	20040324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004008689	A	20060328	BR 2004-8689	20040324
	US 2006178360	A1	20060810	US 2005-549546	20050914
	NO 2005004361	A	20051101	NO 2005-4361	20050920
PRAI	FR 2003-3602	A	20030325		
	FR 2003-4530	A	20030411		
	WO 2004-FR723	A	20040324		

OS MARPAT 141:350198

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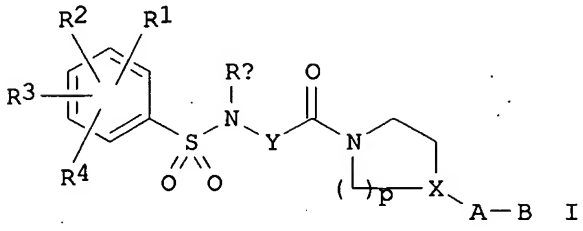

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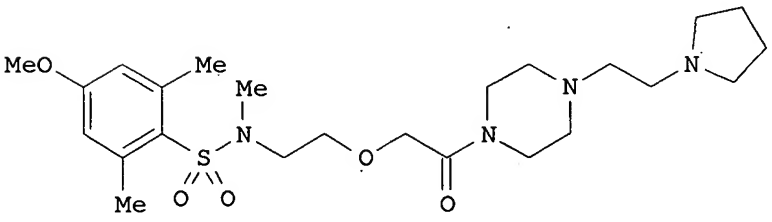


II

AB The invention relates to novel heterocyclic benzenesulfonamide compds. I, a method for their preparation, and their therapeutic use and compns. [wherein: R1, R2, R3, R4 = H, halo, alkyl, alkoxy, CF3, or OCF3; Ra = alkyl; Y = saturated C2-5 alkylene optionally interrupted by O, unsatd. C2-4 alkylene, CH2CONHCH2; X = CH or N; p = 2 or 3; A = bond, NH, NMe, (un)branched C1-5 alkylene optionally bearing OH or an oxo group; provided that A and X together ≠ N; B = N-containing heterocycle or an amine group optionally substituted by 1 or 2 C1-4 alkyl groups; including salts with acids]. The compds. are useful as analgesics and antiinflammatories, particularly for severe pain. Approx. 150 compds. were prepared For instance, 2,6-dimethyl-4-methoxybenzenesulfonyl chloride was amidated with 2-(methylamino)ethanol, (100%), followed by etherification of the free alc. with tert-Bu bromoacetate (94%), deprotection of the tert-Bu ester with TFA (95%), and amidation of the resulting acid with 1-[2-(1-pyrrolidinyl)ethyl]piperazine using a resin-bound diimide reagent and HOAT (13%), to give invention compound II, isolated as the bis(trifluoroacetate). In a formaldehyde-based biphasic pain response

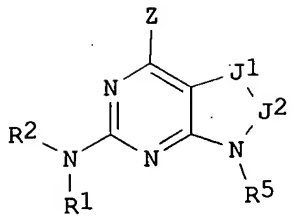
test in mice, one compound gave 43% inhibition of 2nd-phase pain at 3 mg/kg orally, and another gave 40% inhibition at 1 mg/kg orally. In a bradykinin B1 receptor assay using human umbilical cord, compds. I had pKB values of 7.5 to 9.2.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:430699 CAPLUS
DN 141:7128
TI Preparation of fused heterocycles, in particular fused pyrimidines, for use in treatment of leukocyte activation-associated disorders
IN Barbosa, Joseph; Pitts, William J.; Guo, Junqing
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043367	A2	20040527	WO 2003-US35321	20031106
	WO 2004043367	A3	20041014		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003291310	A1	20040603	AU 2003-291310	20031106
	US 2004142945	A1	20040722	US 2003-702295	20031106
PRAI	US 2002-424250P	P	20021106		
	WO 2003-US35321	W	20031106		

OS MARPAT 141:7128
GI



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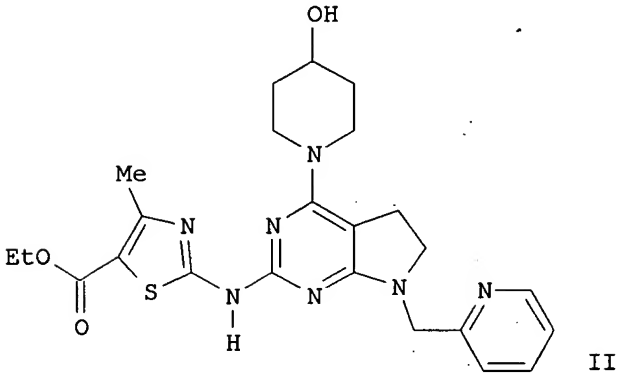


II

AB The title compds. [I; R1 = H, alkyl; R2 = (un)substituted heteroaryl, heterocycle, aryl, aryl fused to heteroaryl or heterocycle with proviso; R5 = H, CN, (un)substituted alk(en/yn)yl , cycloalkyl, heterocyclyl, CO2H and derivs., etc.; Z = NH2 and derivs., OH and derivs., SH and derivs., haloalkyl, halo; J1 = O, S, SO, SO2, (un)substituted C1-3 alkylene; J2 = CO, (un)substituted C1-3 alkylene; provided that J1 and J2 taken together are not > C4; their enantiomers, diastereomers, and pharmaceutically acceptable salts, prodrugs, and solvates] were prepared as inhibitors of T-cell proliferation for treating leukocyte activation-associated disorders. E.g., a multi-step synthesis of II is given. Pharmaceutical composition comprising the compound I is claimed.

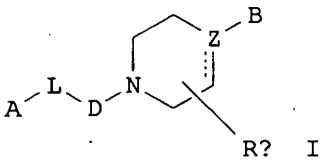
L3 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:120580 CAPLUS
DN 140:163893
TI Preparation of piperazinyl, piperidinyl and related acetamides and benzamides as dopamine D4 receptor agonists useful in treating sexual dysfunction
IN Bhatia, Pramila A.; Daanen, Jerome F.; Hakeem, Ahmed A.; Kolasa, Teodozyj; Matulenko, Mark A.; Mortell, Kathleen H.; Patel, Meena V.; Stewart, Andrew O.; Wang, Xueqing; Xia, Zhiren; Zhang, Henry Q.
PA USA
SO U.S. Pat. Appl. Publ., 173 pp., Cont.-in-part of U.S. Ser. No. 154,373.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004029887	A1	20040212	US 2003-425152	20030429
	US 2003232836	A1	20031218	US 2002-154373	20020523
	CA 2486564	AA	20031204	CA 2003-2486564	20030519
	WO 2003099266	A2	20031204	WO 2003-US15868	20030519
	WO 2003099266	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003231801	A1	20031212	AU 2003-231801	20030519
EP 1509213	A2	20050302	EP 2003-755402	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531571	T2	20051020	JP 2004-506790	20030519
BR 2003006625	A	20060418	BR 2003-6625	20030519
US 2006009461	A1	20060112	US 2005-223857	20050909
PRAI US 2002-154373	A2	20020523		
US 2003-425152	A	20030429		
WO 2003-US15868	W	20030519		

OS MARPAT 140:163893
GI



I

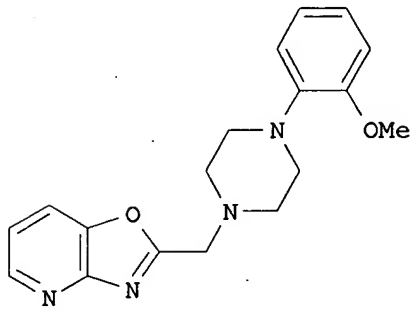
AB The title compds. [I; A = aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, and heterocycloalkyl; L = NR7CO, CONR7, NR7CS, and CSNR7 wherein the left end of said NR7CO, CONR7, NR7CS or CSNR7 is attached to A and the right end is attached to D; D = alkylene, fluoroalkylene, and hydroxyalkylene; Z = N, C and CRB; RA = H, alkyl; RB = H, alkyl, halo; --- is a bond when Z = C and --- is absent when Z = N or CRB; B = (un)substituted Ph, pyridyl, 1-oxopyridyl, pyrimidinyl, pyrazinyl, pyrazolyl, 3-oxopyridazinyl, etc.], useful for the treatment of sexual dysfunction, were prepared Representative I exhibited EC50 values for functional activity of D4 in the range of .apprx.0.8 nM to .apprx.5200 nM and induced a min. of 30% incidence of penile erections in rats after s.c. administration at doses of 0.003 μmol/kg to 3 μmol/kg (no data for individual I provided) demonstrating that I are dopamine D4 receptor agonists that induce penile erections in mammals. Although the methods of preparation are not claimed, 331 example prepns. are included. Thus, reacting 1-(2-methoxyphenyl)piperazine with 2-bromo-N-(3-methylphenyl)acetamide (preparation given) in the presence of N,N-diisopropylethylamine in PhMe afforded 83% 2-[4-(2-methoxyphenyl)-1-piperazinyl]-N-(3-methylphenyl)acetamide.

L3 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:972080 CAPLUS
DN 140:27845
TI Fused bicyclic aromatic compounds with dopamine D4 receptor agonist activity that are useful in treating sexual dysfunction, and their preparation and use
IN Cowart, Marlon D.

PA Abbott Laboratories, USA
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003101994	A1	20031211	WO 2003-US16878	20030529
	W: CA, JP, MX				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	US 2004002488	A1	20040101	US 2002-158370	20020529
	US 2004063713	A1	20040401	US 2003-443814	20030523
	US 7057042	B2	20060606		
PRAI	US 2002-158370	A	20020529		
	US 2003-443814	A	20030523		
	US 2002-384291P	P	20020529		

OS MARPAT 140:27845
GI



II

AB The invention relates to the use of title compds. A-L-D-B1 (I) for the treatment of sexual dysfunction, and to compns. containing compds. I for such treatment [wherein: A = various (un)substituted 6/5- and 5/5-fused bicyclic aromatic nuclei, including indole, benzothiophene, pyrrolopyridine, oxazolopyridine, thiazolopyridine, and thienoimidazole; L = alkylene; D = (un)substituted 1,4-piperidinediyl, 1,2,5,6-tetrahydropyridine-1,4-diyl, 1,4-(homo)piperazinediyl, 2,5-diazabicyclo[2.2.1]heptane-2,5-diyl; B1 = (un)substituted Ph, 2-pyridinyl, 1-oxy-2-pyridinyl, 2-pyrimidinyl, 6-oxopyridazin-1-yl, various azol-2-yls, 2-furyl, 2-thienyl; with 1 excluded compound]. The compds. are centrally active dopamine D4 receptor agonists. Claimed uses are primarily for treatment of male and female sexual dysfunction, especially male erectile dysfunction, as well as other conditions, including cardiovascular, inflammatory, and various CNS disorders. Approx. 70 compds. I and a variety of intermediates were prepared For instance, cyclocondensation of 2-amino-3-pyridinol with ClCH2C(OMe)3 in diglyme in the presence of p-MeC6H4SO3H at 80° gave 2-(chloromethyl)-[1,3]oxazolo[4,5-b]pyridine, which was aminated with 1-(2-methoxyphenyl)piperazine in MeCN to give invention compound II. In a functional test against human D4 receptor expressed in a stable HEK-293 cell line, representative compds. I exhibited EC50 values (vs. 10 μM dopamine) in the range of 7.5 nM to 3800 nM. In a rat penile erection model, representative compds. I at 0.01-1.0 μmol/kg s.c. gave at least 30% incidence of erection(s) during 1 h after administration.

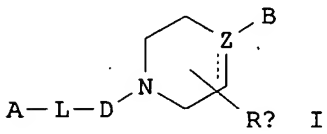
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:971728 CAPLUS
DN 140:16749
TI Preparation of piperazinyl, piperidinyl and related acetamides and benzamides as dopamine D4 receptor agonists useful in treating sexual dysfunction
IN Bhatia, Pramila A.; Daanen, Jerome F.; Hakeem, Ahmed A.; Kolasa, Teodozyj; Matulenko, Mark A.; Mortell, Kathleen H.; Patel, Meena V.; Stewart, Andrew O.; Wang, Xueqing; Xia, Zhiren; Zhang, Henry Q.
PA USA
SO U.S. Pat. Appl. Publ., 171 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003229094	A1	20031211	US 2003-444687	20030523
PRAI US 2002-382863P	P	20020523		

OS MARPAT 140:16749
GI



I

AB The title compds. [I; A = aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, and heterocycloalkyl; L = NR7CO, CONR7, NR7CS, and CSNR7 wherein the left end of said NR7CO, CONR7, NR7CS or CSNR7 is attached to A and the right end is attached to D; D = alkylene, fluoroalkylene, and hydroxyalkylene; Z = N, C and CRB; RA = H, alkyl; RB = H, alkyl, halo; --- is a bond when Z = C and --- is absent when Z = N or CRB; B = (un)substituted Ph, pyridyl, 1-oxopyridyl, pyrimidinyl, pyrazinyl, pyrazolyl, 3-oxopyridazinyl, etc.], useful for the treatment of sexual dysfunction, were prepared Representative I exhibited EC50 values for functional activity of D4 in the range of .apprx.0.8 nM to .apprx.5200 nM and induced a min. of 30% incidence of penile erections in rats after s.c. administration at doses of 0.003 μmol/kg to 3 μmol/kg (no data for individual I provided) demonstrating that I are dopamine D4 receptor agonists that induce penile erections in mammals. Although the methods of preparation are not claimed, 331 example prepns. are included. Thus, reacting 1-(2-methoxyphenyl)piperazine with 2-bromo-N-(3-methylphenyl)acetamide (preparation given) in the presence of N,N-diisopropylethylamine in PhMe afforded 83% 2-[4-(2-methoxyphenyl)-1-piperazinyl]-N-(3-methylphenyl)acetamide.

L3 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:950827 CAPLUS
DN 140:16746
TI Preparation of piperazinyl, piperidinyl and related acetamides and benzamides as dopamine D4 receptor agonists useful in treating sexual dysfunction
IN Bhatia, Pramila A.; Daanen, Jerome F.; Hakeem, Ahmed A.; Kolasa, Teodozyj; Matulenko, Mark A.; Mortell, Kathleen H.; Patel, Meena V.; Stewart, Andrew O.; Wang, Xueqing; Xia, Zhiren; Zhang, Henry Q.

PA Abbott Laboratories, USA
SO PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099266	A2	20031204	WO 2003-US15868	20030519
	WO 2003099266	A3	20040318		
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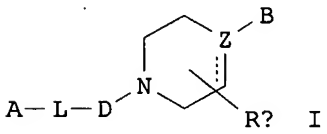
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003232836	A1	20031218	US 2002-154373	20020523
	US 2004029887	A1	20040212	US 2003-425152	20030429
	CA 2486564	AA	20031204	CA 2003-2486564	20030519
	AU 2003231801	A1	20031212	AU 2003-231801	20030519
	EP 1509213	A2	20050302	EP 2003-755402	20030519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005531571	T2	20051020	JP 2004-506790	20030519
	BR 2003006625	A	20060418	BR 2003-6625	20030519
PRAI	US 2002-154373	A	20020523		
	US 2003-425152	A	20030429		
	WO 2003-US15868	W	20030519		

OS MARPAT 140:16746
GI



I

AB The present invention relates to the use of piperazinyl, piperidinyl and related acetamides and benzamides (shown as I; variables defined below; e.g. 2-[4-(2-methoxyphenyl)-1-piperazinyl]-N-(3-methylphenyl)acetamide) for the treatment of sexual dysfunction and to I themselves. For I: A = aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, and heterocycloalkyl; L = -N(R7)C(O)-, -C(O)N(R7)-, -N(R7)C(S)-, and -C(S)N(R7) wherein the left end of said -N(R7)C(O)-, -C(O)N(R7)-, -N(R7)C(S)-, or -C(S)N(R7) is attached to A and the right end is attached to D; D = alkylene, fluoroalkylene, and hydroxyalkylene; Z = N, C and CRB; RA = H and alkyl; RB = H, alkyl, and halogen; --- is a bond when Z is C and --- is absent when Z is N or CRB; B = (un)substituted Ph, pyridyl, 1-oxopyridyl, pyrimidinyl, pyrazinyl, pyrazolyl, 3-oxopyridazinyl, etc.; addnl. details are given in the claims. Representative I exhibited EC50 values for functional activity of D4 in the range of .apprx.0.8 nM to .apprx.5200 nM and induced a min. of 30% incidence of penile erections in rats after s.c. administration at doses of 0.003 μmol/kg to 3

μmol/kg (no data for individual I provided) demonstrating that I are dopamine D4 receptor agonists that induce penile erections in mammals. Although the methods of preparation are not claimed, 331 example prepns. are included.

L3 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:356416 CAPLUS
DN 138:368914
TI Preparation of indole- and pyrrolo[2,3-b]pyridine-containing amide derivatives as antagonists of transforming growth factor-β (TGF-β)
IN Maruyama, Yasufumi; Hirabayashi, Kazuko; Hori, Katsutoshi
PA Nippon Shinyaku Co., Ltd., Japan
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037862	A1	20030508	WO 2002-JP11232	20021029
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	EP 1452525	A1	20040901	EP 2002-779936	20021029
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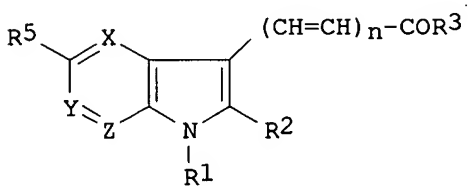
	US 2005014942	A1	20050120	US 2004-494622	20040430
PRAI	JP 2001-332942	A	20011030		
	JP 2002-127771	A	20020430		
	WO 2002-JP11232	W	20021029		

OS MARPAT 138:368914
GI



I

AB Amide derivs. represented by the general formula (I) or pharmaceutically acceptable salts thereof, and pharmaceutical compns. containing the same as the active ingredient [wherein n is 0 or 1; X = CR4, N; Y = CR6, N; Z = CR7, N; R1, R2 = H, optionally substituted alkyl, acyl, optionally substituted aryl, an optionally substituted aromatic heterocyclic group, or the like; R4, R5, R6, R7 = H, halogeno, hydroxyl, amino, alkyl, haloalkyl, alkoxy, monoalkylamino, dialkylamino, arylalkyl, cyano, nitro, or the like; R3 = optionally substituted alkylamino, optionally substituted arylamino, optionally substituted cyclic amino, or the like] are disclosed. The above compds. are useful as TGF-β antagonists for the treatment of pulmonary fibrosis, scleroderma, systemic scleroderma, and

nephritis. Thus, 9.74 g 3-(1-methyl-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)acrylic acid, 10.95 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and 7.1 g 1-hydroxybenzotriazole were mixed with 20 mL DMF, stirred at room temperature for 30 min, treated with 9.75 g salsolidine hydrochloride, and stirred at room temperature for 15 h to give, after workup and silica gel chromatog., 15.7 g 6,7-dimethoxy-1-methyl-2-[(2E)-3-(1-methyl-2-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-propenoyl]-1,2,3,4-tetrahydroisoquinoline hydrochloride (II). In an assay for inhibiting TGF-β-induced collagen production, II and 2-[(2E)-3-[1-methyl-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-propenoyl]-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline hydrochloride at 1 μM inhibited the uptake of [3H]proline in human normal fibroblast cell line (NHDF) by 65 and 140%, resp., when the difference between the uptake of [3H]proline in the absence of TGF-β and that in the presence of TGF-β was set at 100%. Pharmaceutical formulations, e.g. a tablet containing II, were described.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:282394 CAPLUS
DN 138:304265
TI Preparation of N-pyrrolopyridinyl carboxamides as Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders
IN Stavenger, Robert A.; Witherington, Jason; Rawlings, Derek A.; Holt, Dennis A.; Chan, George.
PA Smithkline Beecham Corporation, USA; Smithkline Beecham Plc
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003028724	A1	20030410	WO 2002-US31842	20021004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
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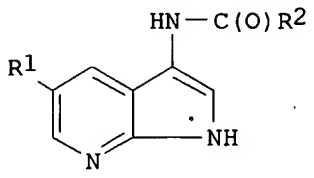
PRAI US 2001-326974P P 20011004
OS MARPAT 138:304265
GI



I

AB N-pyrrolopyridinyl carboxamides (shown as I; variables defined below; e.g. N-(5-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)benzamide) useful in the inhibition of damage response kinases (no data) are provided. Although

PI	WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2451678	AA	20030103	CA 2002-2451678	20020620
	EP 1397360	A1	20040317	EP 2002-730531	20020620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200400015	A	20040415	EE 2004-15	20020620
	BR 2002010507	A	20040615	BR 2002-10507	20020620
	SI 21462	C	20041031	SI 2002-20015	20020620
	JP 2004534826	T2	20041118	JP 2003-507091	20020620
	CN 1665809	A	20050907	CN 2002-812476	20020620
	NZ 529205	A	20060428	NZ 2002-529205	20020620
	US 2004053931	A1	20040318	US 2002-177804	20020621
	US 6897207	B2	20050524		
	ZA 2003009648	A	20050311	ZA 2003-9648	20031211
	BG 108481	A	20050531	BG 2003-108481	20031219
	US 2005267304	A1	20051201	US 2004-995103	20041123
PRAI	GB 2001-15109	A	20010621		
	US 2001-300257P	P	20010622		
	WO 2002-GB2799	W	20020620		
	US 2002-177804	A1	20020621		

OS MARPAT 138:55984

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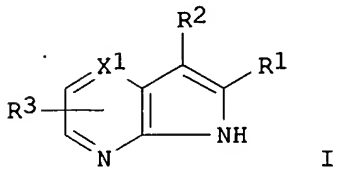


I

AB The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example prepns. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by ≥1 groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and ≥1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or

heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by ≥1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by ≥1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:185696 CAPLUS
DN 136:247592
TI Preparation of heterocyclyl arylamides and ureas as antiinflammatory agents
IN Breitfelder, Steffen; Cirillo, Pier F.; Regan, John R.
PA Germany
SO U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 505,582.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002032195	A1	20020314	US 2001-834797	20010413
	US 6608052	B2	20030819		
	US 6358945	B1	20020319	US 2000-505582	20000216
	US 2002055507	A1	20020509	US 2001-962709	20010925
	US 6660732	B2	20031209		
	US 2002082256	A1	20020627	US 2001-962057	20010925
	US 6656933	B2	20031202		
	US 2003065034	A1	20030403	US 2002-264689	20021004
	US 6703525	B2	20040309		
	US 2003225077	A1	20031204	US 2003-424613	20030428
	US 7026476	B2	20060411		
	US 2004019038	A1	20040129	US 2003-624289	20030721
	US 7019006	B2	20060328		
	AU 2004200240	A1	20040219	AU 2004-200240	20040121
PRAI	US 2000-505582	A2	20000216		
	US 1999-124148P	P	19990312		
	US 1999-165867P	P	19991116		
	AU 2000-28817	A3	20000216		

	US 2001-834797	A2	20010413
	US 2001-962057	A1	20010925
	US 2001-962709	A3	20010925

OS MARPAT 136:247592

AB GEC(:W)NHArXYZ [E = O, NH, S; G = (substituted) Ph, naphthyl, benzocyclobutyl, dihydronaphthyl, benzocycloheptyl, indanyl, indenyl, pyridyl, quinolinyl, oxetanyl, pyrrolidinyl, piperidinyl, etc.; Ar = (substituted) Ph, naphthyl, quinolinyl, isoquinolinyl, tetrahydronaphthyl, benzofuryl, benzothienyl, benzimidazolyl, indanyl, etc.; X = (substituted) cycloalkyl, cycloalkenyl, aryl, furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, pyridinyl, etc.; Y = bond, (substituted) (O-, S-, SO-, SO2-, N-interrupted) alkylene; Z = (substituted) pyridinyl, piperazinyl, pyrimidinyl, pyrazinyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, furyl, thienyl, etc.; W = O, S], were prepared Thus, 5-tert-butyl-2-methoxy-1,3-dinitrobenzene (preparation given) was stirred with ammonium formate and Pd/C in EtOH followed by 3 h reflux to give 90% diamine, which in MeOH was treated with 3,4-dimethoxycyclobutene-1,2-dione at 0-5° followed by stirring and warming to room temperature to give an intermediate. The intermediate in THF was treated with Me2NH at 0-5° followed by stirring and warming to room temperature to give the dimethylamino intermediate. The latter in CH2Cl2 was treated with COCl2 in PhMe and aqueous NaHCO3 followed by removal of most volatiles. The residue was added to 1-amino-4-(6-morpholin-4-ylmethylpyridin-3-yl)naphthalene (preparation given) in THF followed by stirring overnight to give 1-[5-tert-butyl-3-(2-dimethylamino-3,4-dioxocyclobut-1-enylamino)-2-methoxyphenyl]-3-[4-(6-morpholin-4-ylmethylpyridin-3-yl)naphthalen-1-yl]urea. Preferred title compds. inhibited TNFα production in THP cells with IC50<10 μM.

L3 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:923788 CAPLUS

DN 136:53765

TI Preparation of bioisosteric benzamide derivatives and their use as apoB-100 secretion inhibitors

IN Dodic, Nerina

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DT Patent

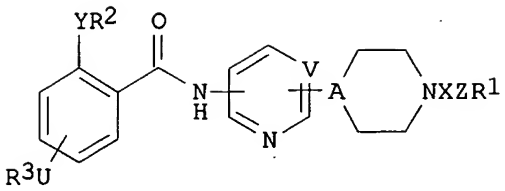
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096327	A1	20011220	WO 2001-EP6243	20010601
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1289982	A1	20030312	EP 2001-960259	20010601
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004503549	T2	20040205	JP 2002-510469	20010601
	US 2004009988	A1	20040115	US 2003-296795	20030520
PRAI	GB 2000-13383	A	20000601		
	WO 2001-EP6243	W	20010601		

OS MARPAT 136:53765

GI



AB The title compds. [I; A = N or CH; U = a direct link, C1-4 alkylene, C0-4 alkyleneoxy-C0-4 alkylene; V = N, CH; X = (i) (un)substituted C1-6 alkylene optionally containing one or two double bonds, (ii) O, SO2, or SO, (iii) C1-6 alkylenecarbonyl, C1-6 alkylenesulfonyl, or C1-6 alkylenethioxo, (iv) C2-6 alkyleneoxy, C2-6 alkylenethio, or C2-6 alkylene(NH or N-C1-6 alkylamino), and (v) C1-6 alkylenecarboxy, C1-6 alkylenethioamido, C1-6 alkylene(N-H or N-C1-6 alkylcarboxamido), etc.; Z = a direct link or (un)substituted C1-6 alkylene optionally containing one double bond; R1 is selected from the following groups: (i) hydrogen or C1-3 perfluoroalkyl, (ii) C6-10 aryl, C3-8 cycloalkyl and fused benz derivs. thereof, C7-10 polycycloalkyl, C4-8 cycloalkenyl, or C7-10 polycycloalkenyl, (iii) a saturated, partially unsatd., or aromatic monocyclic or polycycloalkenyl heterocyclyl, etc.; Y = a direct bond, O, C1-6 alkylene, oxy-C1-6 alkylene, etc.; R2 = (un)substituted Ph, C3-8 cycloalkyl, or a saturated, partially unsatd., or aromatic and monocyclic heterocyclyl; R3 = (i) hydrogen or C1-3 perfluoroalkyl, (ii) Ph or a saturated, partially unsatd. or aromatic monocyclic heterocyclyl, (iii) cyano, hydroxycarbonyl, C1-6 alkoxycarbonyl, aminocarbonyl, C1-6 alkylaminocarbonyl, or C1-6 dialkylaminocarbonyl, etc.] or physiol. acceptable salts, solvates, or derivs. thereof are prepared These compds. inhibit hepatic production of apoprotein B-100 (apoB-100) and microsomal triglyceride transfer protein (MTP) and intestinal production of chylomicrons or apoprotein B-48 (apoB-48) and MTP and are useful for treating conditions ameliorated by an apoB-100 and/or MTP inhibitors. These compds. are useful in the treatment of atherosclerosis, pancreatitis, non-insulin dependent diabetes mellitus (NIDDM), coronary heart diseases, and obesity. They also lower serum lipid levels, cholesterol and/or triglycerides, and are of use in the treatment of hyperlipidemia, postprandial hyperlipemia, mixed dyslipidemia, hyperlipoproteinemia, hypercholesterolemia and/or hypertriglyceridemia. Thus, to a solution of 300 mg 4'-isopropyl-6-methylbiphenyl-2-carboxylic acid [2-(piperazinyl)pyridin-5-yl]amide (preparation given) in 20 mL THF containing triethylamine (0.12 mL) was added 120 mg 2-bromoacetamide and the mixture was heated under reflux during 4 h to give 130 mg 4'-isopropyl-6-methylbiphenyl-2-carboxylic acid [2-(4-carbamoylmethylpiperazin-1-yl)pyridin-5-yl]amide (II). II and 4',6-diisopropylbiphenyl-2-carboxylic acid-[2-[4-(propen-2-yl)piperazin-1-yl]pyridin-5-yl]amide showed IC50 of 0.3 and <0.1 μg/mL, resp., against 3H-triolein transfer onto acceptor liposomes containing biotinylated phosphatidylethanolamine and phosphatidylcholine.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:565002 CAPLUS
DN 135:152713
TI Aromatic amides as novel melanocortin receptor agonists and antagonists
IN Lundstedt, Torbjoern; Skottner, Anna; Seifert, Elisabeth; Starchenkov, Igor; Trapencieris, Peteris; Kauss, Valerjans; Kalvins, Ivars; Boman, Arne

PA Melacure Therapeutics AB, Swed.
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001055106	A2	20010802	WO 2001-GB346	20010129
	WO 2001055106	A3	20020321		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2398728	AA	20010802	CA 2001-2398728	20010129
	BR 2001007893	A	20021105	BR 2001-7893	20010129
	EP 1254114	A2	20021106	EP 2001-946850	20010129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003520850	T2	20030708	JP 2001-555048	20010129
	ZA 2002005886	A	20040621	ZA 2002-5886	20020723
	US 2003195212	A1	20031016	US 2002-182192	20021120
PRAI	GB 2000-1948	A	20000128		
	GB 2000-2060	A	20000128		
	WO 2001-GB346	W	20010129		

OS MARPAT 135:152713

AB The present invention relates to novel aromatic amides (I; B-E-X-N(R8)-C(O)-Y-F-A and pharmacol. active salts thereof) and to the use of these amides for the treatment of obesity, anorexia, inflammation, mental disorders and other diseases associated with the melanocortin receptors or related systems, e.g. the melanocyte stimulating hormones. In I: E and F are independently a saturated or unsatd., acyclic hydrocarbon group having 1-5 C atoms. X and Y are independently methylene; one of X and Y are absent (i.e. a single bond); or X can be -CH(QR10)- and/or Y can be -CH(MR9)- (M and Q are independently a saturated or unsatd., straight or branched chain acyclic hydrocarbon group with 1-6 C atoms; or M and/or Q are absent (i.e. M and/or Q are single bonds)). R8, R9 and R10 are H, -PR4, -C(O)DR4 (P and D are independently a saturated or unsatd., straight or branched chain acyclic hydrocarbon group having 1-6 C atoms; or D is absent (i.e. D is a single bond)). R4 is hydroxy, Me, cyclohexyl, cyclopentyl, aminoguanidine, guanidine, carboxy, or (possibly substituted) amino, carbamoyl, alkoxy, alkoxycarbonyl, acyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, Ph, isoindolyl, indenyl, pyridinyl, indolyl, pyrrolyl, cyclopentadienyl wherein R4 in R8, R9 and R10 may be the same or different. A and B are the same or different and are (possibly substituted) quinolinyl, isoquinolinyl, isoindolyl, naphthyl, pyridinyl, indolyl, pyrazinyl, cyclopentadienyl, pyrimidinyl, Ph, indenyl. Several claimed compds. (N-(3-aminopropyl)-3-(1H-indol-3-yl)-2-(2-naphthalen-1-ylacetylamino)propionamide hydrochloride (1:1.2), N-[1-[benzyl(4-guanidinobutyl)carbamoyl]-2-(1H-indol-3-yl)ethyl]-4-phenylbutyramide monohydrochloride, N-benzyl-N-(4-guanidinobutyl)-3-(1H-indol-3-yl)-2-(2-naphthalen-2-ylacetylamino)propionamide monohydrochloride, N-[1-(9-ethyl-9H-carbazol-3-ylcarbamoyl)-2-(1H-indol-3-yl)ethyl]-4-guanidinobutyramide monohydrochloride, 4-amino-N-[1-(9-ethyl-9H-carbazol-3-ylcarbamoyl)-2-(1H-indol-3-yl)ethyl]butyramide monohydrochloride, 2-(3-aminopropionylamino)-N-(9-ethyl-9H-carbazol-3-yl)-3-(1H-indol-3-yl)propionamide monohydrochloride) were tested (results

given) for affinity for melanocortin receptors (MC1, MC3, MC4, MC5) and/or influence on cAMP. In vivo effects on food intake and anti-inflammatory effects were also determined on selected compds. Two example prepns. are given.

L3 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:666713 CAPLUS
DN 133:252426
TI Preparation of aromatic heterocyclic ureas as antiinflammatory agents
IN Betageri, Rajashehar; Breitfelder, Steffen; Cirillo, Pier F.; Gilmore, Thomas A.; Hickey, Eugene R.; Kirrane, Thomas M.; Moriak, Monica H.; Moss, Neil; Patel, Usha R.; Proudfoot, John R.; Regan, John R.; Sharma, Rajiv; Sun, Sanxing; Swinamer, Alan D.; Takahashi, Hidenori
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000055139	A2	20000921	WO 2000-US3865	20000216
	WO 2000055139	A3	20010426		
	W: AE, AU, BG, BR, BY, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, VN, YU, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2362003	AA	20000921	CA 2000-2362003	20000216
	EP 1165516	A2	20020102	EP 2000-907295	20000216
	EP 1165516	B1	20041006		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000008922	A	20020115	BR 2000-8922	20000216
	TR 200102817	T2	20020521	TR 2001-2817	20000216
	JP 2002539198	T2	20021119	JP 2000-605569	20000216
	EE 200100483	A	20021216	EE 2001-483	20000216
	NZ 514711	A	20040227	NZ 2000-514711	20000216
	AU 771273	B2	20040318	AU 2000-28817	20000216
	CN 1511830	A	20040714	CN 2003-127832	20000216
	EP 1466906	A1	20041013	EP 2004-16841	20000216
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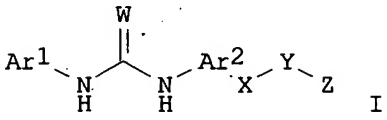
	AT 278674	E	20041015	AT 2000-907295	20000216
	RU 2242474	C2	20041220	RU 2001-126337	20000216
	PT 1165516	T	20050131	PT 2000-907295	20000216
	ES 2225095	T3	20050316	ES 2000-907295	20000216
	NZ 528846	A	20050527	NZ 2000-528846	20000216
	EP 1690854	A1	20060816	EP 2006-114944	20000216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	BG 105880	A	20020531	BG 2001-105880	20010905
	ZA 2001007446	A	20020910	ZA 2001-7446	20010910
	HR 2001000665	A1	20030630	HR 2001-665	20010910
	NO 2001004412	A	20010911	NO 2001-4412	20010911
	NO 321120	B1	20060320		
	US 2002055507	A1	20020509	US 2001-962709	20010925
	US 6660732	B2	20031209		
	US 2002082256	A1	20020627	US 2001-962057	20010925
	US 6656933	B2	20031202		
	HK 1043127	A1	20041224	HK 2002-104955	20020702

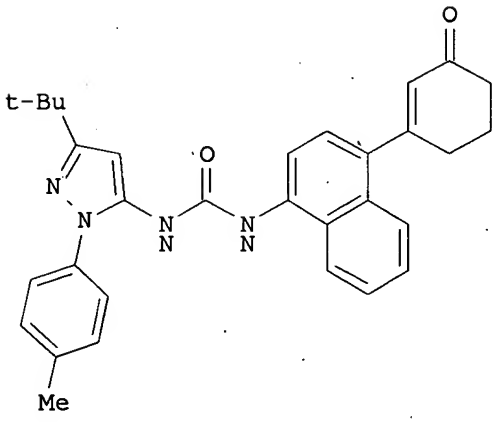
	US 2003225077	A1	20031204	US 2003-424613	20030428
	US 7026476	B2	20060411		
	US 2004019038	A1	20040129	US 2003-624289	20030721
	US 7019006	B2	20060328		
	AU 2004200240	A1	20040219	AU 2004-200240	20040121
PRAI	US 1999-124148P	P	19990312		
	US 1999-165867P	P	19991116		
	AU 2000-28817	A3	20000216		
	EP 2000-907295	A3	20000216		
	EP 2004-16841	A3	20000216		
	US 2000-505582	A3	20000216		
	WO 2000-US3865	W	20000216		
	US 2001-962057	A1	20010925		
	US 2001-962709	A3	20010925		

OS MARPAT 133:252426

GI



I



II

AB The title compds. (I) [wherein Ar1 = (un)substituted pyrrole, pyrrolidine, pyrazole, imidazole, oxazole, thiazole, furan, or thiophene; Ar2 = (un)substituted Ph, (tetrahydro)naphthyl, (tetrahydro)quinoline, (tetrahydro)isoquinoline, benzimidazole, benzofuran, indanyl, indenyl, or indole; W = O or S; X = (un)substituted cycloalkyl, cycloalkenyl, Ph, furan, thiophene, pyrrole, imidazolyl, pyridine, pyrimidine, (dihydro)pyridinone, (dihydro)maleimide, piperidine, piperazine, or pyrazine; Y = a bond or (un)substituted saturated or unsatd. alkyl optionally interrupted by O, NH, S(O), SO2, or S; Z = (un)substituted Ph, pyridine, pyrimidine, pyridazine, imidazole, (tetrahydro)furan, thiophene, (tetrahydro)pyran, 1,3-dioxolanone, 1,3-dioxanone, 1,4-dioxane, (thio)morpholine (sulfoxide), piperidine, cyclohexanone, pentamethylene sulfoxide, etc.] were prepared for the treatment of diseases or pathol. conditions involving inflammation , such as chronic inflammatory diseases. Thus, coupling 2-cyclohexenone with 4-bromo-1-naphthylamine in the presence of Pd(PPh3)2Cl2, DPPP, and NaHCO3 in DMF, followed by conversion of the amine to an isocyanate using ClCOCl and immediate addition of 1-(4-methylphenyl)-3-tert-butyl-1H-pyrazol-5-amine, gave the urea II. In a cytokine production

inhibition assay, preferred compds. of the invention showed IC50 < 10 μM against TNF-α in lipopolysaccharide stimulated THF cells.

L3 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:429483 CAPLUS
DN 127:50547
TI Preparation of cyclic N-substituted α-iminohydroxamates as matrix metalloproteinase inhibitors.
IN Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann, Klaus-Ulrich
PA Hoechst A.-G., Germany
SO Ger. Offen., 17 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19542189	A1	19970515	DE 1995-19542189	19951113
	CA 2237590	AA	19970522	CA 1996-2237590	19961104
	WO 9718194	A1	19970522	WO 1996-EP4776	19961104
	W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9675624	A1	19970605	AU 1996-75624	19961104
	AU 707707	B2	19990715		
	EP 861236	A1	19980902	EP 1996-938052	19961104
	EP 861236	B1	20020213		
	EP 861236	B2	20060816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
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	WO 1996-EP4776	W	19961104		
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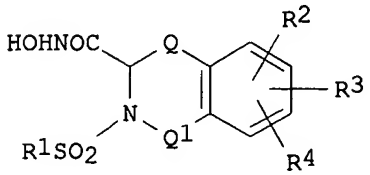
OS MARPAT 127:50547
GI



I

AB Title compds. [I; R1 = R5C6H4XC6H4A, 4-ZC6H4A, isoquinolinyl, (substituted) Ph, etc.; Q = (CH2)n; Q1 = (CH2)m; m, n = 0-2; R2-R4 = H, R1; A = alkylene, vinylene; X = bond, S, SO, SO2, CO, C(OH), O, imino; Z = pyrrolyl, triazolyl, imidazolyl, piperidinyl, tetrazolyl, thiazolidinyl, Ph, pyridinyl, oxazolyl, piperazinyl, pyrazinyl, etc.], were prepared Thus,.